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(71) Applicant (for all designated States except US): **BIOGEN IDEC MA INC.** [US/US]; 14 Cambridge Center, Cambridge, MA 02142 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **VU, Chi** [US/US]; 79 Bay State Road, Arlington, MA 02474 (US). **PETTER, Russell, C.** [US/US]; 343 Hudson Road, Stow, MA 01775 (US). **KUMARAVEL, Gnanasambandam** [IN/US]; 10 Perham Circle, Westford, MA 01886 (US).

(74) Agent: **LINKKILA, Timothy, P.**; Biogen Idéc Inc., 14 Cambridge Center, Cambridge, MA 02142 (US).

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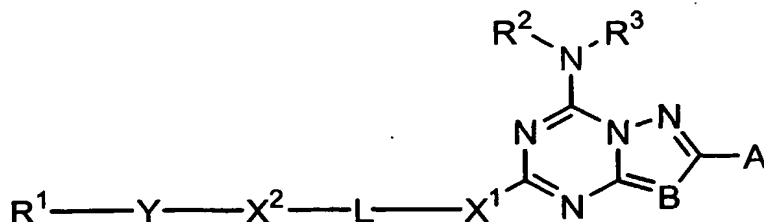
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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: **TRIAZOLO[1,5-C]PYRIMIDINES AND PYRAZOLO[1,5-C]PYRIMIDINES USEFUL AS A2A ADENOSINE RECEPTOR ANTAGONISTS**



(I)

(57) Abstract: The invention is based on the discovery that compounds of formula (I) possess unexpectedly high affinity for the A2a adenosine receptor, and can be useful as antagonists thereof for preventing and/or treating numerous diseases, including Parkinson's disease. In one embodiment, the invention features a compound of formula (I).

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# INTERNATIONAL SEARCH REPORT

International Application No.  
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## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D487/04 C07D519/00 A61K31/53 A61K31/519 A61P25/06  
A61P25/16 A61P25/24 A61P25/28

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K A61P

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the International search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BIOSIS, CHEM ABS Data, BEILSTEIN Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	EP 0 976 753 A (KYOWA HAKKO KOGYO KK) 2 February 2000 (2000-02-02) Claims, tables the whole document	1-9, 22-45
X	WO 00/17201 A (IMMA HIRONORI ; OSAKADA NAOTO (JP); KANDA TOMOYUKI (JP); SHIMADA JUNIC) 30 March 2000 (2000-03-30) abstract; claims 1-16	1-9, 22-45
P,X	WO 03/048163 A (SCHERING CORP.) 12 June 2003 (2003-06-12) claims 1-14; examples 1-19	1-9, 22-45
Y	EP 0 515 107 A (ICI PLC) 25 November 1992 (1992-11-25) claims 1-6; examples 2,3,12	1-9, 22-45
-/-		

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

### \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art
- \*Z\* document member of the same patent family

Date of the actual completion of the international search

8 October 2004

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Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

Stroeter, T

# INTERNATIONAL SEARCH REPORT

International Application No

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## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Y	EP 0 666 079 A (KYOWA HAKKO KOGYO KK) 9 August 1995 (1995-08-09) table 1; compounds 1,3	1-9, 22-45
X	FRANCIS J E ET AL: "STRUCTURE-ACTIVITY PROFILE OF A SERIES OF NOVEL TRIAZOLOQUINAZOLINE ADENOSINE ANTAGONISTS" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 31, 1988, pages 1014-1020, XP002136848 ISSN: 0022-2623 (whole left-hand col. of page 1018) page 1018, paragraphs 1,2; figures 1,2	1-9, 22-45
Y	JACOBSEN K A ET AL: "ADENOSINE RECEPTORS: PHARMACOLOGY, STRUCTURE-ACTIVITY RELATIONSHIPS AND THERAPEUTIC POTENTIAL" JOURNAL OF MEDICINAL CHEMISTRY, AMERICAN CHEMICAL SOCIETY. WASHINGTON, US, vol. 35, no. 3, 7 February 1992 (1992-02-07), pages 407-423, XP000990529 ISSN: 0022-2623 page 407; figure 3	1-9, 22-45

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/US2004/011008

## Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☒ Claims Nos.: **34-45**  
because they relate to subject matter not required to be searched by this Authority, namely:  
Although claims 34 to 45 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☒ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:  
**1 (part), 2-9, 22-46 (part)**

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1, 22-45 (each partial) and 2-9 (each complete)

compounds (and subject-matter referring to these compounds) of formula (I) with the parental system being a pyrazolopyrimidine or a triazolopyrimidine (B=C,N) which is substituted with A and NR<sub>2</sub>R<sub>3</sub> and which has a "side group" X<sub>1</sub>-L-X<sub>2</sub>-Y-R<sub>1</sub> wherein X<sub>1</sub> is not a bond and L can have any meaning as given in claim 1

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2. claims: 1, 32, 34, 36, 38, 40-45 (each partial)

compounds (and subject-matter referring to these compounds) of formula (I) with the parental system being a pyrazolopyrimidine or a triazolopyrimidine (B=C,N) which is substituted with A and NR<sub>2</sub>R<sub>3</sub> and which has a "side group" X<sub>1</sub>-L-X<sub>2</sub>-Y-R<sub>1</sub> wherein X<sub>1</sub> is a bond and L is a carbocycle (phenyl, cycloalkyl)

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3. claims: 1, 22-45 (each partial) and 10-21 (each complete)

- compounds (and subject-matter referring to these compounds) of formula (I) with the parental system being a
- pyrazolopyrimidine or a triazolopyrimidine (B=C,N) which is substituted with A and NR<sub>2</sub>R<sub>3</sub> and which has a "side group" X<sub>1</sub>-L-X<sub>2</sub>-Y-R<sub>1</sub> wherein X<sub>1</sub> is a bond and L is a one of the di- or triamino linkers depicted in present claim 1, 5th to 12th moiety
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# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/US2004/011008

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